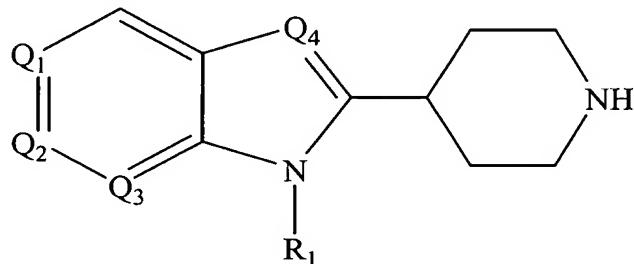


What is claimed is:

A compound having the Formula I:



wherein:

5 Q_1 is N or CR_3 ;

Q_2 is N or CR_4 ;

Q_3 is N or CR_{20} ;

Q_4 is N or S;

10 R_1 is H, alkyl, aryl, arylalkyl, heteroaryl; heteroarylalkyl, heterocycloalkyl, arylsulfonyl, aryloxycarbonyl, alkoxyalkoxyalkyl, alkyl-S-R₇, alkyl-NH-C(=O)-R₈ or -R₉-X-R₁₀-R₁₁)H;

wherein each of the alkyl, aryl, arylalkyl heteroaryl, heteroarylalkyl, heterocycloalkyl, arylsulfonyl, aryloxycarbonyl and alkoxyalkoxyalkyl moieties in each of the foregoing R₁ groups can be optionally substituted with up to 5 groups independently

15 selected from the group consisting of C₁-C₆ alkyl, OH, hydroxyalkyl, -C(=O)-R₅, CN, aryl, alkoxy carbonyl, alkylaryl, arylalkyl, heteroaryl, S-heteroaryl optionally substituted with halogen, heteroarylalkyl optionally substituted with halogen, heterocycloalkyl optionally substituted with amino, NO₂, halogen, monohaloalkyl, dihaloalkyl, trihaloalkyl, perhaloaryl, perhaloalkylaryl, alkyl-NR₁₅R₁₆ and NR₁₅R₁₆;

20 or one of said alkyl, aryl, arylalkyl heteroaryl, heteroarylalkyl, heterocycloalkyl, arylsulfonyl, aryloxycarbonyl or alkoxyalkoxyalkyl moieties of one of said R₁ groups can be attached to a structure of Formula I at position R₁ thereof,

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~~R₃ and R₄ are independently each H, halogen, C₁-C₆ alkyl, trihaloalkyl, alkoxycarbonyl, alkoxy, NR₁₅R₁₆, and NO₂, wherein said C₁-C₆ alkyl, alkoxycarbonyl, and alkoxy groups can each be optionally substituted with NR₁₅R₁₆;~~

- ~~R₅ is H, -NHNHR₆, -NHN=CH-R₆, heteroaryl, heterocycloalkyl, wherein said hereteroaryl group can be optionally substituted with an aryl or heteroaryl group,~~

~~R₆ is aryl, heteroaryl; arylsulfonyl, heteroarylsulfonyl, -C(=S)-NH-aryl, -C(=S)-NH-arylcarbonyl, -C(=S)-NH-heteroarylcarbonyl, -C(=S)-NH-alkylene-R₂₁, -C(=O)-NH-aryl, -C(=O)-NH-arylcarbonyl, -C(=O)-NH-heteroarylcarbonyl, or -C(=O)-NH-alkylene-R₂₁ where R₂₁ is carboxy, alkoxycarbonyl, aryl, heteroaryl, heterocycloalkyl,~~

- ~~10 arylaminocarbonyl, cycloalkylaminocarbonyl, or a saturated hydrocarbon fused ring system optionally having an aryl ring fused thereto, said ring system being optionally substituted with up to three alkyl groups on the alkyl or aryl rings thereof;~~

~~wherein any of said R₆ groups can be optionally substituted with up to 3 groups selected from NR₁₅R₁₆, alkyl, hydroxy, halogen, aryl, alkoxy, trihaloalkoxy,~~

- ~~15 arylalkyloxy, NO₂, -SH, -S-alkyl, heteroarylcarbonyl, heteroaryl, alkylheteroaryl, or a moiety of formula -OC₂CH₂-O- attached to adjacent atoms of said R₆ group;~~

~~R₇ is heteroaryl or heterocycloalkyl;~~

~~R₈ is aryl;~~

~~R₉ and R₁₀ are each independently alkylene having from 1 to about 20 carbons;~~

- ~~20 X is -N(R₁₂)-, -C(R₁₃)(R₁₄)- or O;~~

~~R₁₁ is H, heterocycloaryl, or alkoxy, wherein said heterocycloaryl, or alkoxy group can be optionally substituted with up to four groups independently selected from halogen, amino, trihaloalkyl, alkoxycarbonyl, and CN;~~

~~R₁₂ is H or C₁-C₆ alkyl; and~~

- ~~25 R₁₃ and R₁₄ are each independently H or C₁-C₆ alkyl.~~

~~R₁₅ is H, halogen, C₁-C₁₂ alkyl, methylcarbonyl, heterocycloalkyl, arylsulfonyl, heteroarylalkyl, aminoalkyl, arylcarbonyl, branched and straight chain polyaminoalkyl, or a group of formula CH₂(CHOH)₄CH₂OH,~~

~~wherein said methylcarbonyl, heterocycloalkyl, arylsulfonyl, heteroarylalkyl,~~

- ~~30 aminoalkyl, arylcarbonyl, and branched and straight chain polyaminoalkyl groups can be substituted by up to 3 OH groups;~~

- Solv Al*
- ~~R₁₆ is H, halogen, or C₁-C₆ alkyl;
or R₁₅ and R₁₆ together with the nitrogen atom to which they are attached can form
a succinimidic or phthalimido group or a fused ring derivative thereof, wherein said
succinimidic or phthalimido group or fused ring derivative thereof can be optionally
5 substituted by up to three substituents independently selected from NO₂ and halogen, or a
group of Formula I at position R₁ thereof;~~
- ~~or R₁₅ and R₁₆ together with the nitrogen atom to which they are attached can form
a group of Formula I wherein said nitrogen atom is Q₄ thereof;~~
- ~~provided that when R₃ and R₄ are H, R₁ is not:~~
- 10 methyl, -CH₂-C(=O)-O-A where A is a cyclopentacycloocten-8-yl
etser, 1-(1-methylcyclophetyl)piperidin-4-yl, 1-(1-phenylcyclophetyl)piperidin-4-yl, or
ethoxyethyl.
2. The compound of claim 1 wherein Q₁ is CR₃, Q₂ is CR₄, Q₃ is CR₂₀, and Q₄
15 is N.
3. The compound of claim 2 wherein R₃ and R₄ are each independently
halogen, amino, NO₂, CN, C₁₋₆ alkoxy or C₁₋₆ alkyl optionally substituted with up to 3
halogen atoms.
4. The compound of claim 2 wherein R₃ and R₄ are each independently
20 halogen, amino, or NO₂.
5. The compound of claim 2 wherein R₃ and R₄ are each independently
halogen.
6. The compound of claim 2 wherein R₃ and R₄ are each chlorine.
7. The compound of claim 2 wherein R₁ is alkyl, alkyl substituted with
25 alkoxycarbonyl, alkyl substituted with carboxy, or aralkyl where said aryl portion of said
aralkyl is phenyl, pyridinyl, or pyrimidinyl, and where said phenyl, pyridinyl, or

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pyrimidinyl portion of said arylalkyl group is optionally substituted with up to 5 substituents selected from halogen, monohaloalkyl, dihaloalkyl, trihaloalkyl, NO₂, alkoxycarbonyl, and alkyl.

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out*

8. The compound of claim 6 wherein R₁ is alkyl, alkyl substituted with 5 alkoxycarbonyl, alkyl substituted with carboxy, or aralkyl where said aryl portion of said aralkyl is phenyl, pyridinyl, or pyrimidinyl, and where said phenyl, pyridinyl, or pyrimidinyl portion of said arylalkyl group is optionally substituted with up to 5 substituents selected from halogen, monohaloalkyl, dihaloalkyl, trihaloalkyl, NO₂, alkoxycarbonyl, and alkyl.

10 9. The compound of claim 7 wherein said phenyl, pyridinyl, or pyrimidinyl portion of said arylalkyl group is optionally substituted with up to 5 substituents selected from CF₃, F, Cl, NO₂, COOCH₃, I, Br, and t-butyl.

10. The compound of claim 8 wherein said phenyl, pyridinyl, or pyrimidinyl portion of said arylalkyl group is optionally substituted with up to 5 substituents selected 15 from CF₃, F, Cl, NO₂, COOCH₃, I, Br, and t-butyl.

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11. The compound of claim 2 wherein said R₁ is selected from the radicals shown in Scheme 19, *supra*.

12. The compound of claim 2 wherein R₁ is alkyl substituted with -C(=O)-R₅.

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13. The compound of claim 12 wherein R₅ is -NHNHR₆, or -NHN=CH-R₆.

20 14. The compound of claim 13 wherein R₅ is -NHNHR₆.

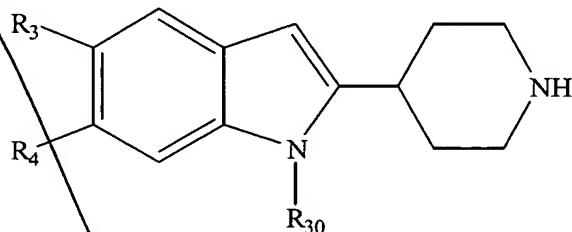
15. The compound of claim 13 wherein R₅ is -NHN=CH-R₆.

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cont*
16. The compound of claim 14 wherein R₆ is -C(=O)-NH-aryl, -C(=O)-NH-cycloalkyl, -C(=S)-NH-aryl, arylsulfonyl, heteroarylsulfonyl, heterocycloalkyl, arylaminocarbonyl, cycloalkylaminocarbonyl, -C(=S)-NH-alkylene-R₂₁ where R₂₁ is heteroaryl or heterocycloaryl, or a saturated hydrocarbon fused ring system optionally having an aryl ring fused thereto, said ring system being optionally substituted with up to three alkyl groups on the alkyl or aryl rings thereof;
- 5 wherein any of said R₆ groups can be optionally substituted with up to 3 groups selected from NR₁₅R₁₆, NO₂, a moiety of formula -OC₂CH₂-O- attached to adjacent atoms of said R₆ group, aryl, C₁₋₆ alkoxy, carboxy, or C₁₋₆ trihaloalkoxy.
- 10 17. The compound of claim 15 wherein R₆ is aryl or heteroaryl optionally substituted with up to 3 groups selected from OH, C₁₋₆ alkoxy, NO₂, C₁₋₆ trihaloalkoxy, C₁₋₆ trihaloalkyl, aryl, arylalkyloxy, and a moiety of formula -OC₂CH₂-O- attached to adjacent atoms of said R₆ group.
- 15 18. The compound of claim 14 wherein said R₆ is any of the radicals shown in Scheme 16, *supra*.
19. The compound of claim 15 wherein said R₆ is any of the radicals shown in Scheme 15, *supra*.
20. The compound of claim 6 wherein R₁ has the formula -(CH₂)_q-L₄ where q is 0 to 6 and L₄ is aryl, heteroaryl or heterocycloalkyl, arylsulfonamino, arylcarboxyamino or 20 -S-heteroaryl, where each of said L₄ is optionally substituted with up to three substituents selected from halogen and NO₂.
21. The compound of claim 20 wherein said L₄ is N-maleimidyl, N-succinimidyl, N-phthalimidyl, N-naphthalimidyl, N-pyromellitic diimidyl, phenylsulfonamidyl, phenylcarboxamidyl, N-benzopyrrolidinyl, benzimidazol-1-yl, 25 benzimidazol-2-yl, 1,2,4-triazolyl-4-yl, or purinyl, each of said L₄ groups being optionally

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cont*

substituted with 1 or 2 substituents selected from halogen, trihaloalkyl, trihaloalkoxy and NO₂.

22. The compound of claim 1 having the formula:



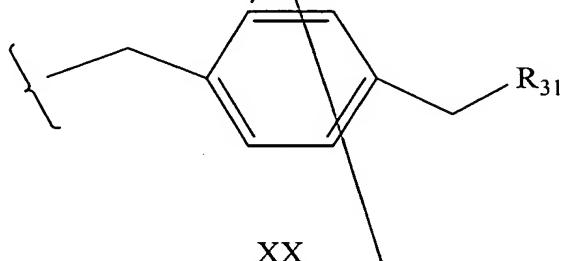
5

wherein:

R₃ and R₄ are independently each H, halogen, C₁-C₆ alkyl, C₁-C₆ alkoxy, trihaloalkyl, alkoxycarbonyl, alkoxy, NR₁₅R₁₆, or NO₂;

R₃₀ is C₁₋₆ alkyl, heteroarylalkyl, arylalkyl, or heteroaryl, wherein each of said heteroarylalkyl, arylalkyl, or heteroaryl groups each can be optionally substituted with up to three substituents selected from halogen, NO₂, and mono-, di-, or trihaloalkyl;

- 10 or R₃₀ has the structure XX:



- 15 wherein R₃₁ is alkylamino, aminoalkylamino, poly(aminoalkyl)amino, heterocycloalkylamino, heterocycloalkyl, -NH-(CHOH)₄-CH₂OH, -NH-(CH₂)₁₋₁₂-heteroaryl or -NH-(CH₂)₁₋₁₂-heterocycloalkyl.

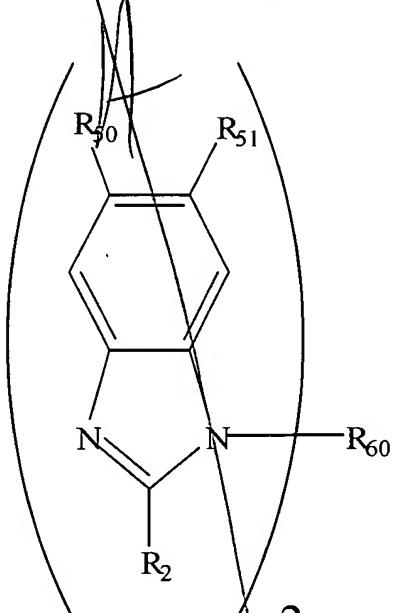
- 20 23. The compound of claim 22 wherein R₃₀ has the structure XX.
 24. The compound of claim 23 wherein R₃₁ is heterocycloalkylamino.
 25. The compound of claim 23 wherein R₃₁ is alkylamino.

26. The compound of claim 23 wherein R₃₁ is aminoalkylamino.
27. The compound of claim 23 wherein R₃₁ is poly(aminoalkyl)amino.
28. The compound of claim 23 wherein R₃₁ is heterocycloalkylamino.
29. The compound of claim 23 wherein R₃₁ is heterocycloalkyl.
5 30. The compound of claim 23 wherein R₃₁ is -NH-(CH₂)₁₋₁₂-heteroaryl.
31. The compound of claim 23 wherein R₃₁ is -NH-(CH₂)₁₋₁₂-heterocycloalkyl.
32. The compound of claim 22 wherein R₃₁ is any of the radicals shown in

Example 11, *supra*.

33. The compound of claim 22 wherein R₁ is pyridin-4-yl-methyl, pyridin-3-yl-methyl, 4-fluorophen-1-yl-methyl, 4-nitrophen-1-yl-methyl, 4-(bromomethyl)phen-1-yl-methyl, pyrimidine-2-yl, or 2,4-dinitrophen-1-yl.

34. A compound having the structure:



wherein:

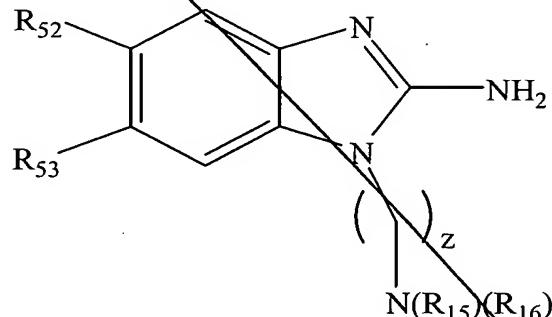
- 15 R₂ is NH₂ or piperidin-4-yl;

- R_{50} and R_{51} are each independently selected from H, halogen, C₁-C₆ alkyl, trihaloalkyl, alkoxycarbonyl, alkoxy, NR₁₅R₁₆, and NO₂, wherein said C₁-C₆ alkyl, alkoxycarbonyl, and alkoxy groups can each be optionally substituted with NR₁₅R₁₆;
- 5 R₁₅ is H, halogen, C₁₋₁₂ alkyl, methylcarbonyl, heterocycloalkyl, arylsulfonyl, heteroarylalkyl, aminoalkyl, arylcarbonyl, branched and straight chain polyaminoalkyl, or a group of formula CH₂(CHOH)₄CH₂OH,
- wherein said methylcarbonyl, heterocycloalkyl, arylsulfonyl, heteroarylalkyl, aminoalkyl, arylcarbonyl, and branched and straight chain polyaminoalkyl groups can be substituted by up to 3 OH groups;
- 10 R₁₆ is H, halogen, or C₁-C₆ alkyl;
- or R₁₅ and R₁₆ together with the nitrogen atom to which they are attached can form a succinimido or phthalimido group or a fused ring derivative thereof, wherein said succinimido or phthalimido group or fused ring derivative thereof can be optionally substituted by up to three substituents independently selected from NO₂ and halogen;
- 15 R₆₀ is alkylene having from 1 to 18 carbons, or -R₉-X-R₁₀-)H;
- R₉ and R₁₀ are each independently alkylene having from 1 to about 20 carbons;
- X is -N(R₁₂)-, -C(R₁₃)(R₁₄)- or O; and
- R₁₂, R₁₃ and R₁₄ are each independently H or C₁-C₆ alkyl.
35. The compound of claim 34 wherein R₂ is piperidin-4-yl.
- 20 36. The compound of claim 35 wherein R₅₀ and R₅₁ are each halogen.
37. The compound of claim 35 wherein R₅₀ and R₅₁ are each chlorine.
38. The compound of claim 37 wherein R₆₀ is alkylene having from 1 to 6 carbons.
39. The compound of claim 37 wherein R₆₀ is alkylene having from 1 to 4 carbons.
- 25 40. The compound of claim 37 wherein R₆₀ is -CH₂-C₆H₄-CH₂-.
41. The compound of claim 37 wherein R₆₀ is para-CH₂-C₆H₄-CH₂-.
42. The compound of claim 34 wherein R₂ is NH₂.
43. The compound of claim 42 wherein R₅₀ and R₅₁ are each independently selected from H, halogen, methyl, COOCH₃, CN and CF₃.
- 30 44. The compound of claim 43 wherein R₆₀ is -R₉-X-R₁₀-.

45. The compound of claim 44 wherein X is $-N(R_{12})-$.
46. The compound of claim 45 wherein R_{12} is methyl and R_9 and R_{10} are each $(CH_2)_2$ or $(CH_2)_3$.
47. The compound of claim 46 wherein R_{50} and R_{51} are each halogen.
- 5 48. The compound of claim 46 wherein R_{50} and R_{51} are each H.
49. The compound of claim 46 wherein R_{50} is Br and R_{51} is H.
50. The compound of claim 46 wherein R_{50} is CH_3 and R_{51} is H.
51. The compound of claim 46 wherein R_{50} is $COOCH_3$ and R_{51} is H.
52. The compound of claim 46 wherein R_{50} is CF_3 and R_{51} is H.
- 10 53. The compound of claim 46 wherein R_{50} is CN and R_{51} is H.
54. The compound of claim 44 wherein X is O.
55. The compound of claim 54 wherein R_9 and R_{10} are each $(CH_2)_2$ or $(CH_2)_3$.
56. The compound of claim 55 wherein R_{50} and R_{51} are each halogen.
57. The compound of claim 55 wherein R_{50} and R_{51} are each H.
- 15 58. The compound of claim 55 wherein R_{50} is Br and R_{51} is H.
59. The compound of claim 55 wherein R_{50} is CH_3 and R_{51} is H.
60. The compound of claim 55 wherein R_{50} is $COOCH_3$ and R_{51} is H.
61. The compound of claim 55 wherein R_{50} is CF_3 and R_{51} is H.
62. The compound of claim 55 wherein R_{50} is CN and R_{51} is H.

20

63. A compound of formula:



wherein:

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Cont.*

R_{52} and R_{53} are each independently selected from H, halogen, C₁-C₆ alkyl, trihaloalkyl, alkoxycarbonyl, alkoxy, NR₁₅R₁₆, and NO₂, wherein said C₁-C₆ alkyl, alkoxycarbonyl, and alkoxy groups can each be optionally substituted with NR₁₅R₁₆;

5 R_{15} is H, halogen, C₁-C₁₂ alkyl, methylcarbonyl, heterocycloalkyl, arylsulfonyl, heteroarylalkyl, aminoalkyl, arylcarbonyl, branched and straight chain polyaminoalkyl, or a group of formula CH₂(CHOH)₄CH₂OH;

wherein said methylcarbonyl, heterocycloalkyl, arylsulfonyl, heteroarylalkyl, aminoalkyl, arylcarbonyl, and branched and straight chain polyaminoalkyl groups can be substituted by up to 3 OH groups;

10 R_{16} is H, halogen, or C₁-C₆ alkyl;

or R₁₅ and R₁₆ together with the nitrogen atom to which they are attached can form a succinimido or phthalimido group or a fused ring derivative thereof, wherein said succinimido or phthalimido group or fused ring derivative thereof can be optionally substituted by up to three substituents independently selected from NO₂ and halogen; and

15 z is 1 to 6.

64. The compound of claim 63 wherein R₁₅ and R₁₆ are each methyl.

65. The compound of claim 64 wherein z is 2 or 3.

66. The compound of claim 65 wherein R₅₂ and R₅₃ are each independently H, C₁-C₆ alkyl, alkoxy optionally substituted with dialkylamino, or alkylamino.

20 67. The compound of claim 66 wherein R₅₂ is H.

68. The compound of claim 67 wherein R₅₃ is methyl, methoxy, alkoxy optionally substituted with dialkylamino, or alkylamino.

69. The compound of claim 67 wherein R₅₃ is OCH₃ or O(CH₂)₃N(CH₃)₂.

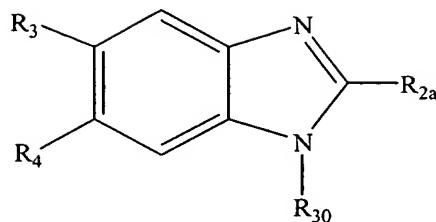
70. The compound of claim 66 wherein R₅₃ is H.

25 71. The compound of claim 70 wherein R₅₂ is methyl, methoxy, alkoxy optionally substituted with dialkylamino, or alkylamino.

72. The compound of claim 70 wherein R₅₂ is OCH₃ or O(CH₂)₃N(CH₃)₂.

73. A compound of Formula:

*R₂
cont*



wherein:

- R_{2a}* is amino, phenyl, mono- or bicyclic heterocycloalkyl having 1 or 2 ring nitrogen atoms, mono- or bicyclic heteroaryl having 1 or 2 ring nitrogen atoms, cycloalkyl,
 5 halogen, heterocycloalkylalkyl (i.e., alkyl sub w' heterocycloalkyl) having 1 or 2 ring nitrogen atoms, mono- or bicyclic heterocycloalkylamino having 1 or 2 ring nitrogen atoms or a group of formula -S-alkylene-L₁ where L₁ is mono- or bicyclic-heteroaryl having 1 or 2 ring nitrogen atoms;
- wherein each of said amino, phenyl, heterocycloalkyl, heteroaryl,
- 10 cycloalkyl, heterocycloalkylalkyl, or heterocycloalkylamino groups can be optionally substituted with a group selected from amino, OH, C₁-C₁₂ alkyl, a structure of formula -C(=O)CH(NH₂)-L₂ where L₂ is the side chain of a naturally occurring alpha amino acid, -C(NH₂)=NH, C₁-C₁₂ alkylcarbonyl, mono- or bicyclic heteroaryl having 1 or 2 ring nitrogen atoms, mono- or bicyclic heteroarylalkyl having 1 or 2 ring nitrogen atoms, or S-
- 15 alkyl-heteroaryl where said heteroaryl is mono- or bicyclic having 1 or 2 ring nitrogen atoms; and

R₃ and *R₄* are each independently halogen, amino, NO₂, CN, C₁₋₆ alkoxy or C₁₋₆ alkyl optionally substituted with up to 3 halogen atoms; and

- R₃₀* is H, alkyl, aryl, arylalkyl, heteroaryl; heteroarylalkyl, heterocycloalkyl,
 20 arylsulfonyl, aryloxycarbonyl, alkoxyalkoxyalkyl, alkyl-S-R₇, alkyl-NH-C(=O)-R₈ or -R₉-X-R₁₀-R₁₁)H;

- wherein each of the alkyl, aryl, arylalkyl heteroaryl, heteroarylalkyl, heterocycloalkyl, arylsulfonyl, aryloxycarbonyl and alkoxyalkoxyalkyl moieties in each of the foregoing R₁ groups can be optionally substituted with up to 3 groups independently
 25 selected from the group consisting of C₁-C₆ alkyl, OH, hydroxyalkyl, -C(=O)-R₅, CN, aryl, alkoxy carbonyl, alkylaryl, arylalkyl, heteroaryl, S-heteroaryl optionally substituted with

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cont*

halogen, heteroarylalkyl optionally substituted with halogen, heterocycloalkyl optionally substituted with amino, NO₂, halogen, monohaloalkyl, dihaloalkyl, trihaloalkyl, perhaloaryl, perhaloalkylaryl, alkyl-NR₁₅R₁₆ and NR₁₅R₁₆;

or one of said alkyl, aryl, arylalkyl heteroaryl, heteroarylalkyl,

- 5 heterocycloalkyl, arylsulfonyl, aryloxycarbonyl or alkoxyalkoxyalkyl moieties of one of said R₁ groups can be attached to a structure of Formula I at position R₁ thereof;

R₅ is H, -NHNHR₆, -NHN=CH-R₆, heteroaryl, heterocycloalkyl, wherein said heteroaryl group can be optionally substituted with an aryl or heteroaryl group,

R₆ is aryl, heteroaryl; arylsulfonyl, heteroarylsulfonyl, -C(=S)-NH-aryl, -

- 10 C(=S)-NH-arylcarbonyl, -C(=S)-NH-heteroarylcarbonyl, -C(=S)-NH-alkylene-R₂₁, -C(=O)-NH-aryl, -C(=O)-NH-arylcarbonyl, -C(=O)-NH-heteroarylcarbonyl, or -C(=O)-NH-alkylene-R₂₁ where R₂₁ is carboxy, alkoxy carbonyl, aryl, heteroaryl, heterocycloalkyl, arylaminocarbonyl, cycloalkylaminocarbonyl, or a saturated hydrocarbon fused ring system optionally having an aryl ring fused thereto, said ring system being optionally substituted with up to three alkyl groups on the alkyl or aryl rings thereof;

wherein any of said R₆ groups can be optionally substituted with up to 3 groups selected from NR₁₅R₁₆, alkyl, hydroxy, halogen, aryl, alkoxy, trihaloalkoxy, arylalkyloxy, NO₂, -SH, -S-alkyl, heteroarylcarbonyl, heteroaryl, alkylheteroaryl, or a moiety of formula -OC₂CH₂-O- attached to adjacent atoms of said R₆ group;

20 R₇ is heteroaryl or heterocycloalkyl;

R₈ is aryl;

R₉ and R₁₀ are each independently alkylene having from 1 to about 20 carbons;

X is -N(R₁₂)-, -C(R₁₃)(R₁₄)- or O;

25 R₁₁ is H, heterocycloaryl or alkoxy, wherein said heterocycloaryl or alkoxy group can be optionally substituted with up to four groups independently selected from halogen, amino, trihaloalkyl, alkoxy carbonyl, and CN;

R₁₂ is H or C₁-C₆ alkyl; and

R₁₃ and R₁₄ are each independently H or C₁-C₆ alkyl;

R₁₅ is H, halogen, C₁-₁₂ alkyl, methylcarbonyl, heterocycloalkyl, arylsulfonyl, heteroarylalkyl, aminoalkyl, arylcarbonyl, branched and straight chain polyaminoalkyl, or a group of formula CH₂(CHOH)₄CH₂OH,

wherein said methylcarbonyl, heterocycloalkyl, arylsulfonyl,

- 5 heteroarylalkyl, aminoalkyl, arylcarbonyl, and branched and straight chain polyaminoalkyl groups can be substituted by up to 3 OH groups;

R₁₆ is H, halogen, or C₁-C₆ alkyl;

or R₁₅ and R₁₆ together with the nitrogen atom to which they are attached can form a succinimido or phthalimido group or a fused ring derivative thereof, wherein

- 10 said succinimido or phthalimido group or fused ring derivative thereof can be optionally substituted by up to three substituents independently selected from NO₂ and halogen, or a group of Formula I at position R₁ therefrom;

or R₁₅ and R₁₆ together with the nitrogen atom to which they are attached can form a group of Formula I wherein said nitrogen atom is Q₄ thereof;

- 15 74. The compound of claim 73 wherein R₃ and R₄ are each halogen.

75. The compound of claim 73 wherein R₃ and R₄ are each chlorine.

76. The compound of claim 73 wherein R_{2a} is amino, Cl, phenyl, monocyclic heterocycloalkyl having 1 or 2 ring nitrogen atoms, monocyclic heteroaryl having 1 ring nitrogen atom, cycloenyl, cyclohexyl, heterocycloalkyl-methyl, piperidine-4-yl amino or 20 a group of formula -S-(C₂-₄ alkylene)-N-phthalimido;

wherein each of said phenyl, heterocycloalkyl heteroaryl, cycloenyl, cyclohexyl, heterocycloalkyl-methyl, and piperidine-4-yl amino groups can be optionally substituted with a group selected from NH₂, OH, CH₃, COOCH₃, a structure of formula -C(=O)CH(NH₂)-L₂ where L₂ is a serine or threonine side chain, -C(NH₂)=NH,

- 25 benzimidazolyl, or benzimidazolemethyl.

77. The compound of claim 75 wherein R_{2a} is amino, Cl, phenyl, monocyclic heterocycloalkyl having 1 or 2 ring nitrogen atoms, monocyclic heteroaryl having 1 ring

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cont

nitrogen atom, cyclophenyl, cyclohexyl, heterocycloalkyl-methyl, piperidine-4-yl amino or a group of formula -S-(C₂₋₄ alkylene)-N-phthalimido;

wherein each of said phenyl, heterocycloalkyl heteroaryl, cyclophenyl, cyclohexyl, heterocycloalkyl-methyl, and piperidine-4-yl amino groups can be optionally substituted with a group selected from NH₂, OH, CH₃, COOCH₃, a structure of formula -C(=O)CH(NH₂)-L₂ where L₂ is a serine or threonine side chain, -C(NH₂)=NH, benzimidazole, or benzimidazolemethyl.

78. The compound of claim 73 wherein R_{2a} is amino, Cl, piperidinyl, pyridinyl, phenyl, cyclopentyl, cyclohexyl, pyrrolidinyl, piperazinyl, -CH₂-piperazinyl, piperidine-4-yl-amino or S-alkyl-phthalyl, wherein said piperidinyl, pyridinyl, phenyl, cyclopentyl, cyclohexyl, pyrrolidinyl, piperazinyl, -CH₂-piperazinyl, or S-alkyl-phthalyl groups can be optionally substituted with a group selected from NH₂, methylcarbonyl, -C(=O)CH(NH₂)-CH₂OH, methyl, OH, -C(NH₂)=NH, OH, benzimidazole-2-yl, and -CH₂-benzimidazole-2-yl.

15 79 The compound of claim 75 wherein R_{2a} is amino, Cl, piperidinyl, pyridinyl, phenyl, cyclopentyl, cyclohexyl, pyrrolidinyl, piperazinyl, -CH₂-piperazinyl, piperidine-4-yl-amino or S-alkyl-phthalyl, wherein said piperidinyl, pyridinyl, phenyl, cyclopentyl, cyclohexyl, pyrrolidinyl, piperazinyl, -CH₂-piperazinyl, or S-alkyl-phthalyl groups can be optionally substituted with a group selected from NH₂, methylcarbonyl, -C(=O)CH(NH₂)-CH₂OH, methyl, OH, -C(NH₂)=NH, OH, benzimidazole-2-yl, and -CH₂-benzimidazole-2-yl.

80. The compound of claim 73 wherein R_{2a} is amino, Cl, pyridin-4-yl, phenyl substituted with amino, cyclopentyl substituted with amino, cyclohexyl optionally substituted with amino, pyrrolidin-2-yl optionally substituted by hydroxy, piperazin-1-yl 25 optionally substituted at the 4-yl position by benzimidazole-2-yl, piperazin-1-yl-methyl optionally substituted at the 4-yl position by -CH₂-benzimidazole-2-yl, piperidine-4-yl-amino, piperidin-1-yl substituted by amino, S-alkyl-phthalyl, or said R₂ is piperidin-4-yl

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cont

optionally substituted at the 1-yl position with $-C(=O)CH_3$, $-C(=O)CH(NH_2)-CH_2OH$, $-C(NH_2)=NH$, or CH_3 .

81. The compound of claim 75 wherein R_{2a} is amino, Cl, pyridin-4-yl, phenyl substituted with amino, cyclopentyl substituted with amino, cyclohexyl optionally substituted with amino, pyrrolidin-2-yl optionally substituted by hydroxy, piperazin-1-yl optionally substituted at the 4-yl position by benzimidazole-2-yl, piperazin-1-yl-methyl optionally substituted at the 4-yl position by $-CH_2$ -benzimidazole-2-yl, piperidine-4-yl-amino, piperidin-1-yl substituted by amino, S-alkyl-phthalyl, or said R_2 is piperidin-4-yl optionally substituted at the 1-yl position with $-C(=O)CH_3$, $-C(=O)CH(NH_2)-CH_2OH$, $-C(NH_2)=NH$, or CH_3 .

82. The compound of claim 73 wherein R_{2a} is amino, piperidin-4-yl-amino, piperazine-1-yl optionally substituted with benzimidazole-2-yl, pyridin-4-yl, piperidin-4-yl optionally substituted at the 1-yl position with $-C(=O)CH_3$, $-C(=O)CH(NH_2)-CH_2OH$, $-C(NH_2)=NH$, or CH_3 , 4-amino-piperidin-1-yl, 3-amino-phen-1-yl, 3-amino-cyclopent-1-yl, cyclohexyl optionally substituted at the 3-yl or 4-yl position with NH_2 , 4-hydroxypyrrolidin-2-yl, piperazin-1-yl-methyl, 4-(benzimidazole-2-yl-methyl)piperazin-1-yl-methyl, or S-alkyl-phthalyl where said alkyl has from 2 to 4 carbons.

83. The compound of claim 73 wherein R_{2a} is piperidin-4-yl optionally substituted at the 1-yl position with $-C(=O)CH_3$, $-C(=O)CH(NH_2)-CH_2OH$, $-C(NH_2)=NH$, or CH_3 .

84. The compound of claim 75 wherein R_{2a} is piperidin-4-yl optionally substituted at the 1-yl position with $-C(=O)CH_3$, $-C(=O)CH(NH_2)-CH_2OH$, $-C(NH_2)=NH$, or CH_3 .

85. The compound of claim 73 wherein R_{2a} is piperidin-4-yl.

86. The compound of claim 75 wherein R_{2a} is piperidin-4-yl.

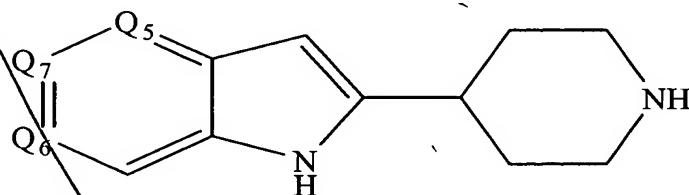
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87. The compound of claim 73 wherein R_{2a} is NH₂.
88. The compound of claim 75 wherein R_{2a} is NH₂.
89. The compound of claim 86 wherein R₃₀ is alkyl substituted with -C(=O)-R₅.
90. The compound of claim 89 wherein R₅ is -NHNHR₆, or -NHN=CH-R₆.
- 5 91. The compound of claim 90 wherein R₅ is -NHNHR₆.
92. The compound of claim 90 wherein R₅ is -NHN=CH-R₆.
93. The compound of claim 91 wherein R₆ is -C(=O)-NH-aryl, -C(=O)-NH-cycloalkyl, -C(=S)-NH-aryl, arylsulfonyl, heteroarylsulfonyl, heterocycloalkyl, arylaminocarbonyl, cycloalkylaminocarbonyl, -C(=S)-NH-alkylene-R₂₁ where R₂₁ is heteroaryl or heterocycloaryl, or a saturated hydrocarbon fused ring system optionally having an aryl ring fused thereto, said ring system being optionally substituted with up to three alkyl groups on the alkyl or aryl rings thereof;
- 10 wherein any of said R₆ groups can be optionally substituted with up to 3 groups selected from NR₁₅R₁₆, NO₂, a moiety of formula -OC₂CH₂-O- attached to adjacent atoms of said R₆ group, aryl, C₁₋₆ alkoxy, carboxy, or C₁₋₆ trihaloalkoxy.
- 15 94. The compound of claim 92 wherein R₆ is aryl or heteroaryl optionally substituted with up to 3 groups selected from OH, C₁₋₆ alkoxy, NO₂, C₁₋₆ trihaloalkoxy, C₁₋₆ trihaloalkyl, aryl, arylalkyloxy, and a moiety of formula -OC₂CH₂-O- attached to adjacent atoms of said R₆ group.
- 20 95. A compound as described in Table, *supra*.
96. The compound of claim 86 wherein R₃₀ has the formula -(CH₂)_q-L₄ where q is 0 to 6 and L₄ is aryl, heteroaryl or heterocycloalkyl, arylsulfonamino, arylcarboxyamino

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Cont

or -S-heteroaryl, where each of said L₄ is optionally substituted with up to three substituents selected from halogen and NO₂.

97. The compound of claim 96 wherein said L₄ is maleimido, succinimido, phthalimido, naphthalimido, pyromellitic diimido, phenylsulfonamido, 5 phenylcarboxamido, benzopyrrolidine, benzimidazole, triazole, or -S-benzimidazole.

98. A compound of Formula:



wherein:

Q₅ is CH or N;

10 Q₆ is C-R₆₁ or N;

Q₇ is C-R₆₀ or N;

R₆₀ and R₆₁ are each independently H, halogen, C₁₋₆ alkyl, trihaloalkyl, or C₁₋₆ alkoxy;

provided that when Q₆ is C-R₆₁, Q₇ is C-R₆₀ and Q₅ is CH, then R₆₀ and R₆₁ are not

15 both H.

99. The compound of claim 98 wherein Q₅ is N.

100. The compound of claim 98 wherein Q₆ is N.

101. The compound of claim 98 wherein Q₇ is N.

101. The compound of claim 98 wherein Q₅ is N, Q₆ is C-R₆₁ and Q₇ is C-R₆₀.

- 20 102. The compound of claim 98 wherein Q₇ is N, Q₆ is C-R₆₁ and Q₅ is CH.

103. The compound of claim 98 wherein Q₅ is N, Q₆ is N and Q₇ is C-R₆₀.

104. The compound of claim 98 wherein Q₅ is CH, Q₆ is R₆₁ and Q₇ is C-R₆₀.

105. The compound of claim 104 wherein R₆₀ and R₆₁ are each independently H, Br, Cl, methoxy, methyl or trifluoromethyl.

106. The compound of claim 104 wherein R₆₀ is OCH₃ and R₆₁ is H, or R₆₀ is CH₃ and R₆₁ is H, or R₆₀ is Br and R₆₁ is H, or R₆₀ is Cl and R₆₁ is H, or R₆₀ is CF₃ and R₆₁ is H, or R₆₀ is Cl and R₆₁ is CH₃, or R₆₀ and R₆₁ are both Cl.

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